

ABSTRACT OF THE DISCLOSURE

The present invention is directed to the identification of compounds in a compound library which can mediate the biological activity of a target receptor protein, even when the ligands which mediate that activity through binding to that receptor are not already known.

The method of the invention includes the following three steps:

- (1) Screen a first combinatorial library for members binding to the target protein (TP) and hence capable of use as surrogates for the unknown ligand in steps (2) and (3).
- (2) Screen a second library, for compounds which inhibit the binding of one or more surrogates from step (1) to TP, and, optionally.
- (3) Determine whether the inhibitory compound mediates the biological activity of the said TP.

The first library is composed of peptides, peptoids and/or nucleic acids, and the second is not.